

10/031,198

Page 1

=> d ibib ab hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:45062 CAPLUS
 DOCUMENT NUMBER: 134:101066
 TITLE: Preparation of C-19-halogen substituted androst-9(11)-enes.
 INVENTOR(S): Neef, Guenter; Golde, Roland; Fritzemeier, Karl-Heinrich
 PATENT ASSIGNEE(S): Schering A.-G., Germany
 SOURCE: Ger. Offen., 12 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19934088	A1	20010118	DE 1999-19934088	19990715
WO 2001005805	A2	20010125	WO 2000-DE2390	20000717
WO 2001005805	A3	20010913		
WO 2001005805	C2	20030123		

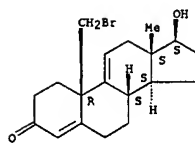
V: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GN, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 AU 2000066845 A5 20010205 AU 2000-66845 20000717
 EP 1196427 A2 20020417 EP 2000-954359 20000717
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
 JP 2003050393 T2 20030212 JP 2001-511463 20000717
 DE 1999-19934088 A 19990715
 WO 2000-DE2390 W 20000717

AB The 17-hydroxy-19-halogen-androsta-4,9(11)-dien-3-one I (X = halo radio labeled halo), were prepd. as radiopharmaceuticals. Thus, 3,3-(2,2-dimethyltrimethylenedioxy)-10.beta.-formyl-androst-9(11)en-5.alpha.-ol was silylated with Me3CSiMe2Cl followed by b NaBH4 reduct. iodination, elimination and desilylation and hydrolysis to give 17.beta.-hydroxy-19-iodoandrost-4,9(11)-3-one (I, X = iodo, II). II was converted to the 17.beta.-hydroxy-6.beta.,19-cycloandrost-4,9(11)-dien-3-one (III) in 3 steps.

IT 318950-64-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or Reagent)
 (prepn. of C-19-halogen substituted androst-9(11)-enes)
 RN 318950-64-0 CAPLUS
 CN Androsta-4,9(11)-dien-3-one, 19-bromo-17-hydroxy-, (17.beta.)- (9CI) (CA INDEX NAME)

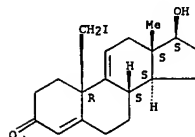
Absolute stereochemistry. Rotation (+).

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



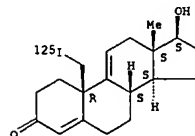
IT 318950-63-9P 318950-87-7P 318950-88-8P
 318950-89-9P 318950-90-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of C-19-halogen substituted androst-9(11)-enes)
 RN 318950-63-9 CAPLUS
 CN Androsta-4,9(11)-dien-3-one, 17-hydroxy-19-iodo-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 318950-87-7 CAPLUS
 CN Androsta-4,9(11)-dien-3-one, 17-hydroxy-19-(iodo-125I)-, (17.beta.)- (9CI) (CA INDEX NAME)

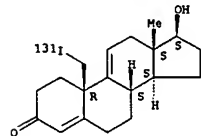
Absolute stereochemistry.



RN 318950-88-8 CAPLUS
 CN Androsta-4,9(11)-dien-3-one, 17-hydroxy-19-(iodo-131I)-, (17.beta.)- (9CI) (CA INDEX NAME)

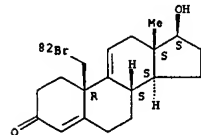
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



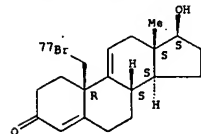
RN 318950-89-9 CAPLUS
 CN Androsta-4,9(11)-dien-3-one, 19-(bromo-82Br)-17-hydroxy-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 318950-90-2 CAPLUS
 CN Androsta-4,9(11)-dien-3-one, 19-(bromo-77Br)-17-hydroxy-, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/031,198

Page 3

=> d ibib ab fqhit 1-3

L6 ANSWER 1 OF 3 MARPAT COPYRIGHT 2003 ACS on STN

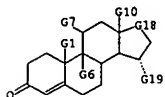
ACCESSION NUMBER: 122:256423 MARPAT
 TITLE: Antiglucocorticoid steroids for the treatment of anxiety disorders
 INVENTOR(S): Peeters, Bernardus Wynand Machijs Maria
 PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.
 SOURCE: PCT Int. Appl., 25 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9504536	A1	19950216	WO 1994-EP2513	19940728
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9474968	A1	19950228	AU 1994-74968	19940728
AU 687088	B2	19980219		
EP 712311	A1	19960522	EP 1994-924819	19940728
EP 712311	B1	19981007		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09501172	T2	19970204	JP 1995-506200	19940728
AT 171873	E	19981015	AT 1994-924819	19940728
ES 2124905	T3	19990216	ES 1994-924819	19940728
US 5741787	A	19980421	US 1996-581631	19960118
PRIORITY APPLN. INFO.:			EP 1993-202304	19930804
			EP 1994-924819	19940728
			WO 1994-EP2513	19940728

AB Antiglucocorticoid steroids are used for the manuf. of a pharmaceutical compn. for the treatment of anxiety disorders. The anxiolytic effect of 11.beta.-(4-dimethylaminophenyl)-17.beta.-hydroxy-17.alpha.-(prop-1-ynyl)-estra-4,9-dien-3-one (RU38486) was demonstrated in animal testing (antagonism of fear-potentiated startle). Prep. and activity (antagonism of stress-induced hyperthermia) of selected steroids of the invention is also described.

MSTR 1



G1 = CH₂CH=CH₂ (SO (1-) G2)
 G2 = F
 G16 = OH
 G18 = 39

L6 ANSWER 2 OF 3 MARPAT COPYRIGHT 2003 ACS on STN

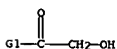
ACCESSION NUMBER: 119:250248 MARPAT
 TITLE: Preparation of 20-oxo-17.alpha.,21-dihydroxypregnenes
 INVENTOR(S): Buendia, Jean; Vivat, Michel
 PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
 SOURCE: Can. Pat. Appl., 18 pp.
 CODEN: CPXXEB

DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2082284	AA	19930509	CA 1992-2082284	19921106
FR 2683530	A1	19930514	FR 1991-13777	19911108
FR 2683530	B1	19940121		
FR 2683820	A1	19930521	FR 1992-4564	19920414
FR 2683820	B1	19950519		
RU 2106354	C1	19980310	RU 1992-4389	19921103
EP 546875	A2	19930616	EP 1992-402996	19921105
EP 546875	A3	19940518		
EP 546875	B1	19960911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5352808	A	19941004	US 1992-972228	19921105
AT 142637	E	19960915	AT 1992-402996	19921105
ES 2091426	T3	19961101	ES 1992-402996	19921105
AU 9228190	A1	19930513	AU 1992-28190	19921106
AU 666504	B2	19960215		
JP 05194583	A2	19930803	JP 1992-321370	19921106
ZA 9208577	A	19931108	ZA 1992-8577	19921106
HU 64360	A2	19931228	HU 1992-3491	19921106
HU 213610	B	19970828		
HU 64969	A2	19940328	HU 1993-2803	19921106
PL 173273	B1	19980227	PL 1992-296513	19921106
PL 173451	B1	19980331	PL 1992-315752	19921106
CN 1072182	A	19930519	CN 1992-112854	19921107
CN 1036719	B	19971217		
PRIORITY APPLN. INFO.:			FR 1991-13777	19911108
			HU 1992-3491	19921106

AB Title compds. [(unsatd.) (substituted)-]I; R₃ = .beta.-COCH₂OH; R₄ = .alpha.-OH; [II; R₁ = H, (substituted)alkyl, alkenyl, alkynyl; R₂ = alkyl] were prepd. by oxidn. of I (R₃R₄ = C(CH₂OH) followed by sapon. This reaction sequence applied to 20-formamido-11.beta.,21-dihydroxypregna-4,17(20)-diene-3-one gave hydrocortisone.

MSTR 2



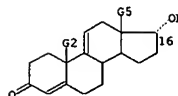
G1 = 16

L6 ANSWER 1 OF 3 MARPAT COPYRIGHT 2003 ACS on STN (Continued)



MPL: claim 2

L6 ANSWER 2 OF 3 MARPAT COPYRIGHT 2003 ACS on STN (Continued)



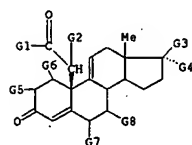
G2 = alkyl<(1-4)> (SO (1-) G3)
 G3 = X
 MPL: claim 1

L6 ANSWER 3 OF 3 MARPAT COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 115:114881 MARPAT
 TITLE: New steroid derivatives with a substituted ethyl group
 in position 10
 INVENTOR(S): Gourvest, Jean Francois; Lesuisse, Dominique
 PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
 SOURCE: Eur. Pat. Appl., 11 pp.
 CODEN: EPKXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 434571	A2	19910626	EP 1990-403727	19901221
EP 434571	A3	19920115		
EP 434571	B1	19950201		
R: BE, CH, DE, FR, GB, IT, LI, NL				
FR 2656309	A1	19910628	FR 1989-17048	19891222
FR 2656309	B1	19920507		
JP 04117394	A2	19920417	JP 1990-412670	19901221
JP 2898417	B2	19990602		
US 5081114	A	19920114	US 1990-633288	19901224
			FR 1989-17048	19891222

PRIORITY APPLN. INFO.:
 AB Title steroids I (R = H, amino, alkyl, alkoxy, aryl, aryloxy, aralkyl, aralkoxy; R1 = H, halogen, OH, alkyl, alkoxy, alkylthio, amino, carbamoyl, alkoxycarbonyl; X = O, H, OR2; R2 = H, alkyl, acyl) and some 1,2- or 6,7-didehydro analogs were prepd. Thus, 11.beta.-hydroxy-4,9-androstadiene-3,17-dione was treated with EtOCH:CH2 to give I (R = R1 = H, X = O) which had a cytochrome P 450 aromatase-inhibiting ED50 of 8.5 times. 10-8 M.

MSTR 1A



G2 = X
 G3 = OH
 MPL: claim 1
 NTE: substitution is restricted

=> d his

(FILE 'HOME' ENTERED AT 11:40:39 ON 28 JUL 2003)

FILE 'REGISTRY' ENTERED AT 11:40:50 ON 28 JUL 2003

L1 STRUCTURE UPLOADED

L2 2 S L1

L3 6 S L1 FULL

FILE 'CAPLUS' ENTERED AT 11:41:28 ON 28 JUL 2003

L4 1 S L3

FILE 'MARPAT' ENTERED AT 11:42:06 ON 28 JUL 2003

L5 3 S L3 FULL

L6 3 S L5 NOT L4

FILE 'BEILSTEIN' ENTERED AT 11:43:45 ON 28 JUL 2003

L7 0 S L3 FULL

FILE 'USPATFULL' ENTERED AT 11:44:00 ON 28 JUL 2003

L8 0 S L3